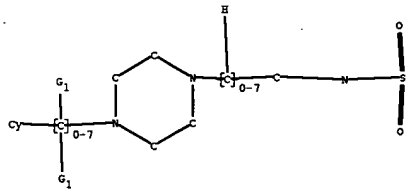
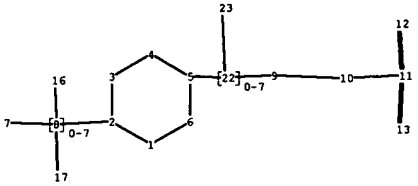
Part II





chain nodes :
7 8 11 12 13 16 17 22 23
ring nodes :
1 2 3 4 5 6 9 10
chain bonds :
2-8 5-22 7-8 8-16 8-17 9-22 10-11 11-12 11-13 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10
exact/norm bonds :
1-2 1-6 2-3 2-8 3-4 4-5 5-6 5-22 7-8 8-16 8-17 9-10 10-11
11-12 11-13
exact bonds :
9-22 22-23
isolated ring systems :
containing 1 :

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 22:CLASS
23:CLASS
Generic attributes :
7:
Saturation : Unsaturated
Type of Ring System : Monocyclic

Element Count :

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Node 7: Limited
    N,N0-2
    O,O0
    S,S0
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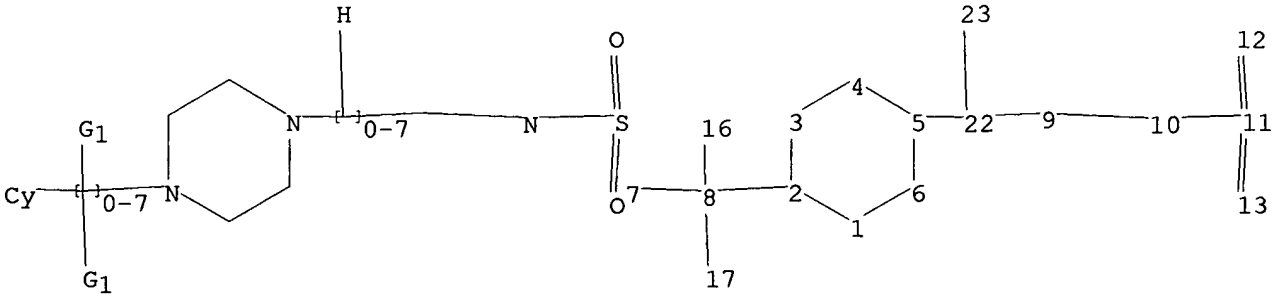

chain nodes :
7 8 11 12 13 16 17 22 23
ring nodes :
1 2 3 4 5 6 9 10
chain bonds :
2-8 5-22 7-8 8-16 8-17 9-22 9-10 10-11 11-12 11-13 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 2-8 3-4 4-5 5-6 5-22 7-8 8-16 8-17 9-10 10-11 11-12 11-13

exact bonds :
9-22 22-23
isolated ring systems :
containing 1 :

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 22:CLASS 23:CLASS
Generic attributes :
7:
Saturation : Unsaturated
Type of Ring System : Monocyclic

Element Count :
Node 7: Limited
N,N0-2
O,O0
S,S0

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 18:22:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 377 TO ITERATE

100.0% PROCESSED 377 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 6376 TO 8704
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

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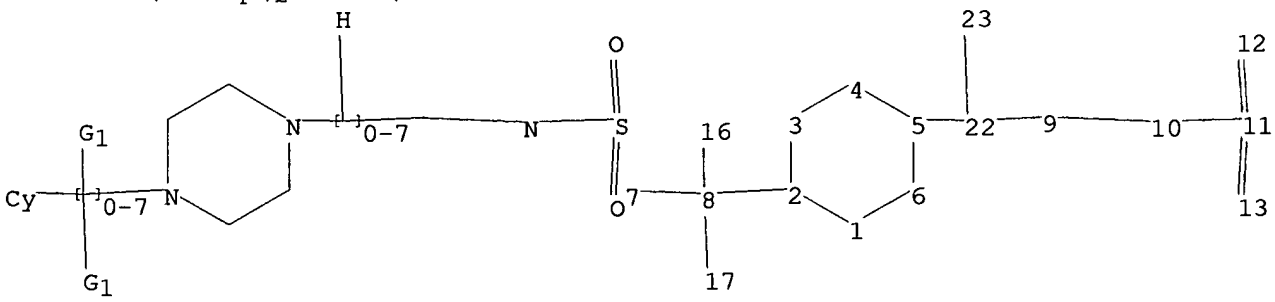


chain nodes :
7 8 11 12 13 16 17 22 23
ring nodes :
1 2 3 4 5 6 9 10
chain bonds :
2-8 5-22 7-8 8-16 8-17 9-22 10-11 11-12 11-13 22-23
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 9-10
exact/norm bonds :
1-2 1-6 2-3 2-8 3-4 4-5 5-6 5-22 7-8 8-16 8-17 9-10 10-11 11-12 11-13

exact bonds :
9-22 22-23
isolated ring systems :
containing 1 :

G1:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 22:CLASS 23:CLASS
Generic attributes :
7:
Saturation : Unsaturated
Type of Ring System : Monocyclic

Element Count :
Node 7: Limited
N,N0-2
O,O0
S,S0

L3 STRUCTURE UPLOADED

=> s l3
SAMPLE SEARCH INITIATED 18:25:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 112 TO ITERATE

100.0% PROCESSED 112 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

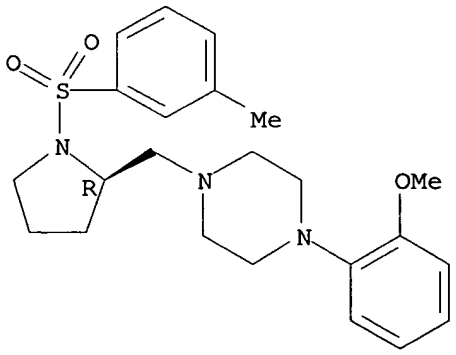
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1606 TO 2874
PROJECTED ANSWERS: 1 TO 80

L4 1 SEA SSS SAM L3

=> d l4

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 311345-78-5 REGISTRY
ED Entered STN: 27 Dec 2000
CN Pyrrolidine, 2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-1-[(3-methylphenyl)sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C23 H31 N3 O3 S
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l3 sss full

FULL SEARCH INITIATED 18:25:35 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2612 TO ITERATE

100.0% PROCESSED 2612 ITERATIONS 49 ANSWERS
SEARCH TIME: 00.00.01

L5 49 SEA SSS FUL L3

=>

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	171.04	171.25

FILE 'CAPLUS' ENTERED AT 18:25:44 ON 01 FEB 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 1 Feb 2006 VOL 144 ISS 6
FILE LAST UPDATED: 31 Jan 2006 (20060131/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

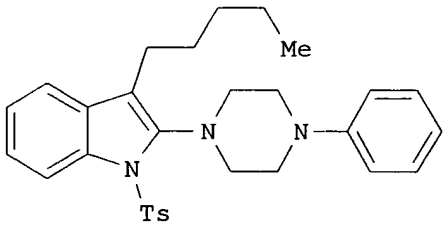
http://www.cas.org/infopolicy.html

=> s l5
L6 9 L5

=> d l6 1-9 bib abs hitstr

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:782663 CAPLUS
DN 139:381330
TI Palladium-catalyzed synthesis of 2-aminoindoles by a heteroannulation reaction
AU Witulski, Bernhard; Alayrac, Carole; Tevzadze-Saeftel, Lali
CS Fachbereich Chemie, Universitaet Kaiserslautern, Kaiserslautern, 67663, Germany
SO Angewandte Chemie, International Edition (2003), 42(35), 4257-4260
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
OS CASREACT 139:381330

GI



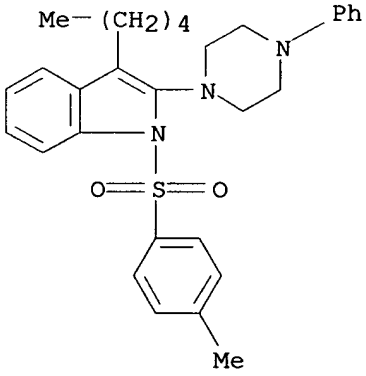
I

AB A palladium-catalyzed heteroannulation reaction between N-alkynyl-2-haloanilides and amines, is reported. The reaction was performed with an excess of primary, as well as secondary, amines to give 2-aminoindoles, e.g., I, in excellent yield. A proposed mechanism for the palladium-catalyzed heteroannulation reaction is also discussed.

IT **625091-65-8P 625091-76-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of aminoindoles via palladium-catalyzed heteroannulation reaction of N-alkynyl-haloanilides with primary and secondary amines)

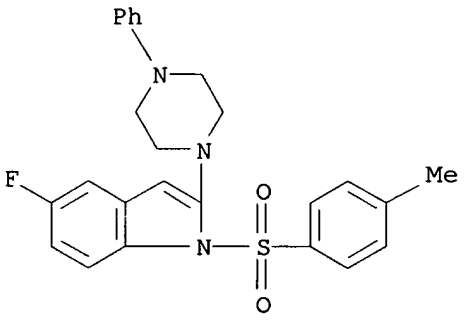
RN 625091-65-8 CAPLUS

CN 1H-Indole, 1-[(4-methylphenyl)sulfonyl]-3-pentyl-2-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)



RN 625091-76-1 CAPLUS

CN 1H-Indole, 5-fluoro-1-[(4-methylphenyl)sulfonyl]-2-(4-phenyl-1-piperazinyl)- (9CI) (CA INDEX NAME)

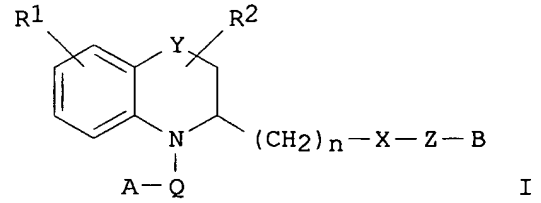


RE.CNT 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:301077 CAPLUS
DN 138:304309
TI Preparation of 2-(heterocyclylalkyl)-1,2,3,4-tetrahydroquinolines and analogs as 5-HT1A receptor inhibitors for treatment of urinary tract disorders
IN Leonardi, Amedeo; Motta, Gianni; Riva, Carlo; Testa, Rodolfo; Corbett, Jeff W.
PA Recordati S.A., Switz.; Recordati Industria Chimica e Farmaceutica S.p.A.
SO PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003031436	A1	20030417	WO 2002-EP11282	20021007
	WO 2003031436	C1	20040527		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2458456	AA	20030417	CA 2002-2458456	20021007
	US 2003162777	A1	20030828	US 2002-266104	20021007
	US 2003181446	A1	20030925	US 2002-266088	20021007
	EP 1432701	A1	20040630	EP 2002-782863	20021007
	EP 1432701	B1	20051221		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013067	A	20040928	BR 2002-13067	20021007
	JP 2005508952	T2	20050407	JP 2003-534419	20021007
	NZ 532511	A	20051028	NZ 2002-532511	20021007
	NO 2004001833	A	20040705	NO 2004-1833	20040504
	ZA 2004003356	A	20041108	ZA 2004-3356	20040504
PRAI	IT 2001-MI2060	A	20011005		

US 2002-350680P P 20020122
WO 2002-EP11282 W 20021007
OS MARPAT 138:304309
GI



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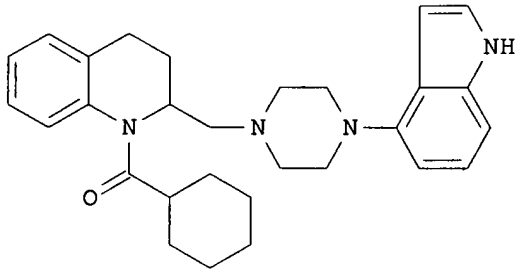


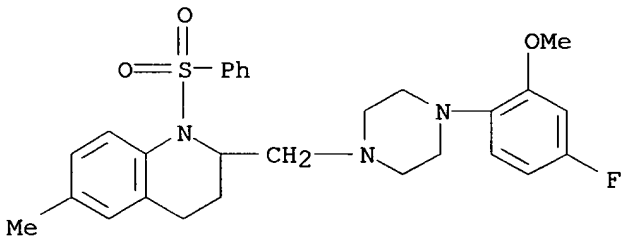
II

AB Title compds. I [wherein R1 = H, halo, OH, (halo)alkyl, (halo)alkoxy, NO2, NR3R4, or (un)substituted Ph or heterocyclyl; R2 = 1 or 2 substituents selected from H or alkyl; R3 and R4 = independently H, alkyl, acyl, or alkoxycarbonyl; Y = a bond or CH2; Q = CO, CS, or SO2; A = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, aryl, heterocyclyl, (di)alkylamino, arylamino, or arylalkylamino; n = 1 or 2; X = (un)substituted piperidinyl or piperazinyl; Z = a bond, O, S, CH2, CH2CH2, CO, CHOH, OCH2, NH, NHCO, or NHCONHCH2; or ZB = 2,3-dihydrobenzo[1,4]dioxin-2-yl; B = (un)substituted monocyclic or bicyclic (hetero)aryl; with provisos; and enantiomers, diastereomers, N-oxides, cryst. forms, hydrates, solvates, or pharmaceutically acceptable salts thereof] were prepd. as serotonergic receptor antagonists. For example, coupling of 2-chloromethylquinoline with 1-(4-indolyl)piperazine in the presence of DIPEA in DMF gave 1-(4-indolyl)-4-(quinolin-2-ylmethyl)piperazine (70%), which was hydrogenated using PtO2/AcOH/H2 to provide the tetrahydroquinoline deriv. (76.5%). Amidation with cyclohexanecarbonyl chloride in the presence of TEA in CH2Cl2 afforded II (81%). The (+)- and (-)-enantiomers were sepd. via chiral column chromatog. II inhibited the human 5HT1A-serotonergic receptor in transfected HeLa cells with Ki of 3.3 nM, while (+)-II showed a binding affinity with Ki of 0.2 nM. Similarly, (+)-II proved more effective than II in suppressing the frequency of rhythmic bladder-voiding contractions in rats with ED50 values of 24 .mu.g/kg and 64 .mu.g/kg, resp. In addn., (+)-II exhibited significant and long-lasting post-synaptic 5-HT1A-receptor antagonist activity by suppressing forepaw treading induced by 8-OH-DPAT in rats with 100% inhibition after 0.5 h and 98% inhibition after 4 h of administration of a dose of 1 mg/kg p.o. By contrast, (-)-II showed only 19% inhibition after 0.5 h and 5% inhibition after 4 h of administration of a dose of 1 mg/kg p.o.

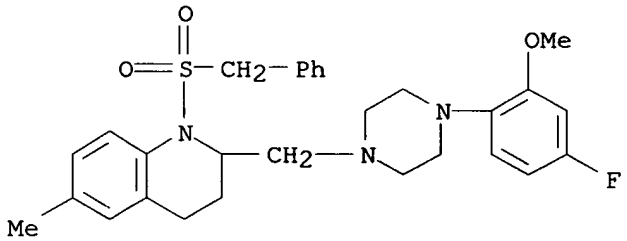
IT **511235-26-0P**, 1-(4-Fluoro-2-methoxyphenyl)-4-(6-methyl-1-phenylsulfonyl-1,2,3,4-tetrahydroquinolin-2-ylmethyl)piperazine
511235-27-1P, 1-(4-Fluoro-2-methoxyphenyl)-4-(6-methyl-1-

benzylsulfonyl-1,2,3,4-tetrahydroquinolin-2-ylmethyl)piperazine **511235-28-2P**, 1-(4-Fluoro-2-methoxyphenyl)-4-[6-methyl-1-(4-methoxyphenylsulfonyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]piperazine **511235-29-3P**, 1-(4-Fluoro-2-methoxyphenyl)-4-[6-methyl-1-(4-methylphenylsulfonyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]piperazine **511235-30-6P**, 1-[6-Methyl-1-(4-cyanophenylsulfonyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]-4-(4-fluoro-2-methoxyphenyl)piperazine **511235-31-7P**, 1-[6-Methyl-1-(4-fluorophenylsulfonyl)-1,2,3,4-tetrahydroquinolin-2-ylmethyl]-4-(4-fluoro-2-methoxyphenyl)piperazine **511235-39-5P**, 1-(4-Fluoro-2-methoxyphenyl)-4-(1-phenylsulfonyl-1,2,3,4-tetrahydroquinolin-2-ylmethyl)piperazine **511235-40-8P**, 1-(1-Benzylsulfonyl-1,2,3,4-tetrahydroquinolin-2-ylmethyl)-4-(4-fluoro-2-methoxyphenyl)-piperazine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1A antagonist; prepn. of (aminoalkyl)- and (heterocyclylalkyl)tetrahydroquinoline 5-HT1A antagonists from haloalkylquinolines and amines or heterocycles for treatment of urinary tract and CNS disorders)

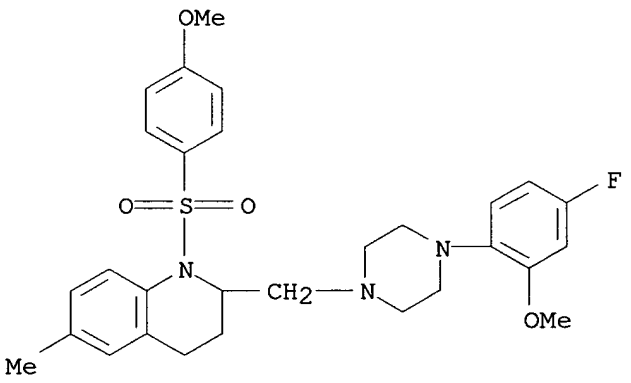
RN 511235-26-0 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-6-methyl-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



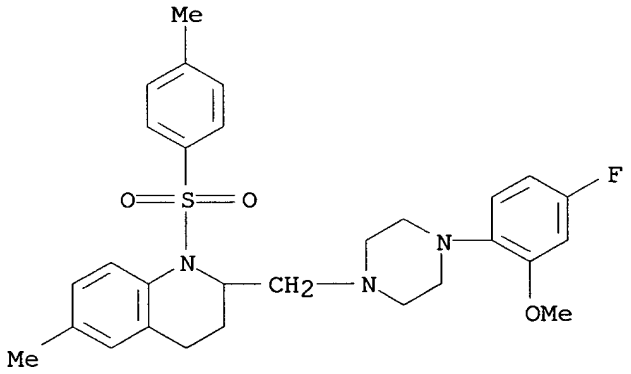
RN 511235-27-1 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-6-methyl-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



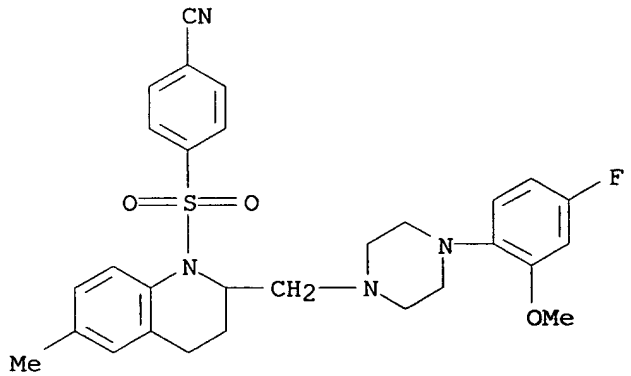
RN 511235-28-2 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-1-[(4-methoxyphenyl)sulfonyl]-6-methyl- (9CI) (CA INDEX NAME)



RN 511235-29-3 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-6-methyl-1-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

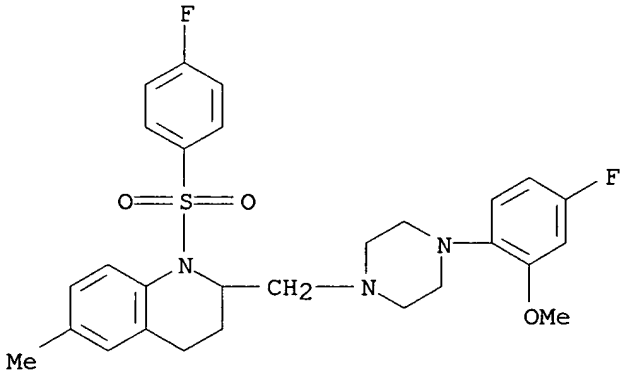


RN 511235-30-6 CAPLUS
CN Quinoline, 1-[(4-cyanophenyl)sulfonyl]-2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)

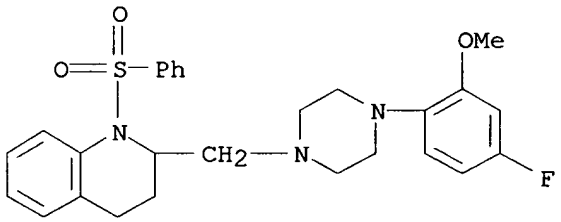


RN 511235-31-7 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1-[(4-

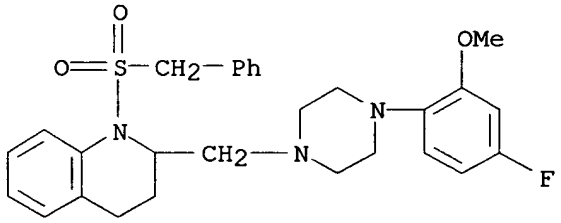
fluorophenyl)sulfonyl]-1,2,3,4-tetrahydro-6-methyl- (9CI) (CA INDEX NAME)



RN 511235-39-5 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-1-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 511235-40-8 CAPLUS
CN Quinoline, 2-[[4-(4-fluoro-2-methoxyphenyl)-1-piperazinyl]methyl]-1,2,3,4-tetrahydro-1-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:861674 CAPLUS
DN 134:29433
TI Preparation of sulfonamide compounds with 5-HT7 antagonist activity
IN Lovell, Peter John
PA Smithkline Beecham P.L.C., UK
SO PCT Int. Appl., 17 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000073299	A1	20001207	WO 2000-EP4893	20000525
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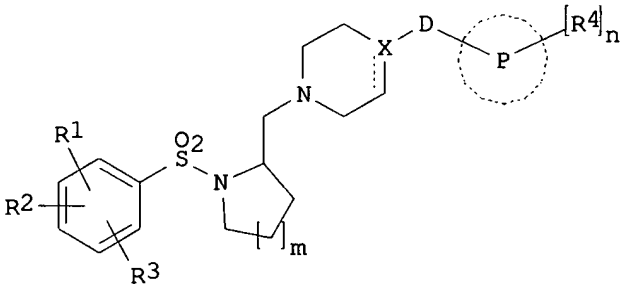
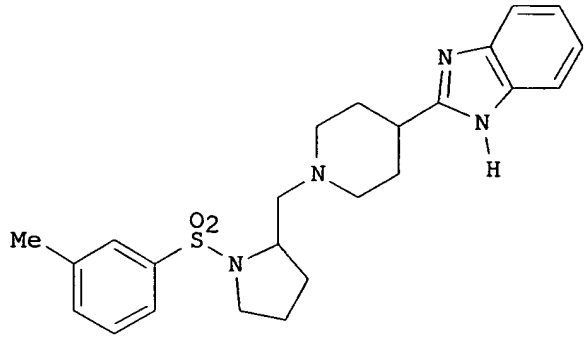
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1181287	A1	20020227	EP 2000-935141	20000525
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003500488	T2	20030107	JP 2000-621365	20000525
	US 2003130275	A1	20030710	US 2002-305450	20021127
PRAI	GB 1999-12701	A	19990601		
	WO 2000-EP4893	W	20000525		
	US 2001-979472	B1	20011114		

OS MARPAT 134:29433
GI



I



II

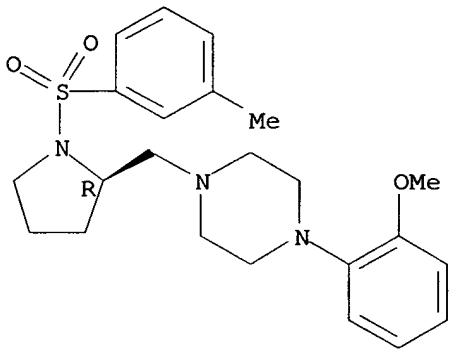
AB The title compds. [I; R1-R3 = H, halo, OH, etc.; m = 1-2; X = N, C, CH; D = a bond, CO, O, CH2, with the proviso that when X = N then D is not O; P = Ph, naphthyl, 5-6 membered heteroaryl contg. 1-3 heteroatoms selected from O, N and S, etc.; R4 = alkyl optionally substituted by NR5R6, aryl, arylalkyl, etc.; R5, R6 = H, alkyl, aryl, etc.; n = 0-3] having 5-HT7 antagonist activity, and therefore useful in the treatment of CNS and other disorders, were prepd. E.g., a multi-step synthesis of (R)-II was given. All compds. I tested had a pKi of 6.0-7.9 against 5-HT7 receptor binding.

IT **311345-78-5P 311345-84-3P 311345-90-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamide compds. with 5-HT7 antagonist activity)

RN 311345-78-5 CAPLUS

CN Pyrrolidine, 2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-1-[(3-methylphenyl)sulfonyl]-, (2R)- (9CI) (CA INDEX NAME)

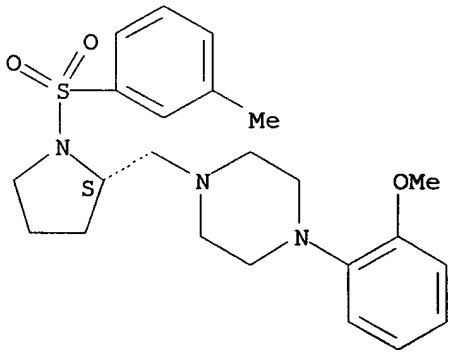
Absolute stereochemistry.



RN 311345-84-3 CAPLUS

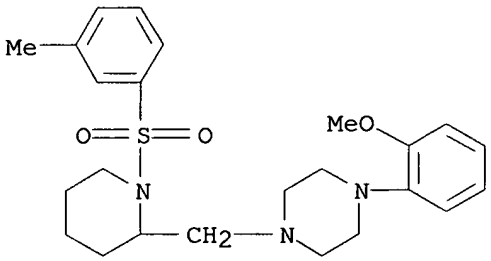
CN Pyrrolidine, 2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-1-[(3-methylphenyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 311345-90-1 CAPLUS

CN Piperidine, 2-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-1-[(3-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

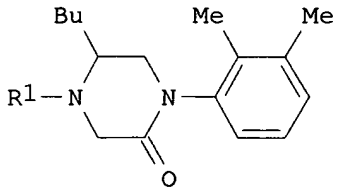


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1999:34471 CAPLUS
DN 130:95565
TI Preparation of 1-aryl(carbonyl)-2-piperazinones and analogs as farnesyl protein transferase inhibitors
IN Anthony, Neville J.; Ciccarone, Terrence M.; Dinsmore, Christopher J.; Gomez, Robert P.; Williams, Theresa M.; Hartman, George D.
PA Merck and Co., Inc., USA
SO U.S., 68 pp., Cont.-in-part of U.S. Ser. No. 470,690, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5856326	A	19990105	US 1996-600728	19960301
	CA 2216707	AA	19961003	CA 1996-2216707	19960325
	WO 9630343	A1	19961003	WO 1996-US4019	19960325
	W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, US, US, UZ, VN, AM, AZ, BY, KG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9653223	A1	19961016	AU 1996-53223	19960325
	AU 710672	B2	19990923		
	EP 820445	A1	19980128	EP 1996-909851	19960325
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
	BR 9607953	A	19980714	BR 1996-7953	19960325
	CN 1195340	A	19981007	CN 1996-194206	19960325
	JP 10511098	T2	19981027	JP 1996-529559	19960325
	JP 3043815	B2	20000522		
	ZA 9602433	A	19961002	ZA 1996-2433	19960327
	NO 9704457	A	19971128	NO 1997-4457	19970926
PRAI	US 1995-412829	B2	19950329		
	US 1995-470690	B2	19950606		
	US 1996-600728	A	19960301		
	WO 1996-US4019	W	19960325		

OS MARPAT 130:95565
GI



II

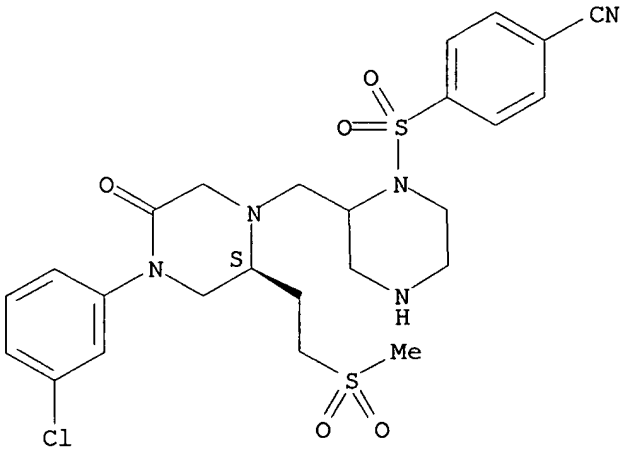
AB R1A1Z1A2Z2Z3Z4XZR [I; A1,A2 = bond, O, CO, CH:CH, etc.; R = (un)substituted heterocyclylcarbonyl or -arylcarbonyl when Z = e.g., (un)substituted 1,4-piperazinediyl; R = (un)substituted (hetero)aryl(methyl) or -(sulfonyl) when Z = e.g., (un)substituted 3-oxopiperazine-1,4-diyl; R1 = H, alkyl, aryl, etc.; X = CH2, CO, SO0-2; Z1,Z2,Z4 = bond or (un)substituted alkylene; Z3 = bond or (un)substituted heterocyclylene] were prepd. Thus, (S)-BuCH(NHCO2CMe3)CO2H was amidated by MeONHMe and the reduced product reductively aminated by 2,3-Me2C6H3NH2 to give, after cyclocondensation with ClCH2COCl, arylpiperazininone (S)-II (III; R1 = H) which was deprotected and the product reductively condensed with 1-triphenylmethylimidazole-4-carboxaldehyde to give, after deprotection, III (R1 = 4-imidazolylmethyl). Data for biol. activity of I were given.

IT **219553-04-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-aryl(carbonyl)-2-piperazinones and analogs as farnesyl protein transferase inhibitors)

RN 219553-04-5 CAPLUS

CN Piperazine, 2-[[(2S)-4-(3-chlorophenyl)-2-[2-(methylsulfonyl)ethyl]-5-oxo-1-piperazinyl]methyl]-1-[(4-cyanophenyl)sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



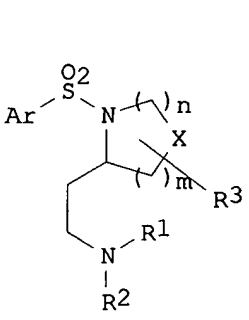
●2 HCl

RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

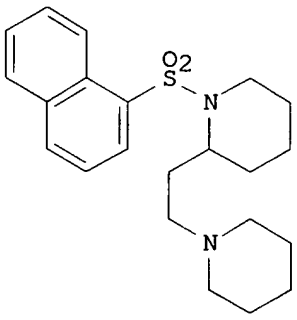
L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:28747 CAPLUS
DN 128:102009
TI Heterocyclic sulfonamide derivatives and their use in the treatment of CNS disorders
IN Forbes, Ian Thomson; King, Francis David; Rahman, Shirley Katherine
PA Smithkline Beecham PLC, UK; Forbes, Ian Thomson; King, Francis David; Rahman, Shirley Katherine
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9748681	A1	19971224	WO 1997-EP3159	19970617
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2258247	AA	19971224	CA 1997-2258247	19970617
	AU 9733398	A1	19980107	AU 1997-33398	19970617
	EP 912512	A1	19990506	EP 1997-929204	19970617
	EP 912512	B1	20030402		
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
	JP 2000512645	T2	20000926	JP 1998-502262	19970617
	ES 2196344	T3	20031216	ES 1997-929204	19970617
	US 6265408	B1	20010724	US 1999-202776	19990305

PRAI GB 1996-12884 A 19960620
WO 1997-EP3159 W 19970617
OS MARPAT 128:102009
GI



I



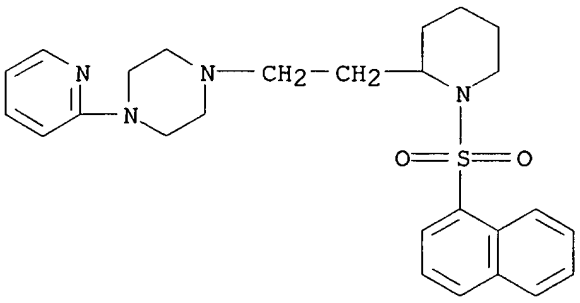
II

AB Sulfonamide compds. I and their salts are disclosed [wherein Ar = (un)substituted mono- or bicyclic arom. or heteroarom. ring; R1, R2 = H, C1-6 alkyl, aryl-C1-6-alkyl; or NR1R2 = (un)substituted 5- to 7-membered heterocyclic ring optionally contg. a further heteroatom selected from N, S, or O, with the N atom being substituted by H, C1-6 alkyl, C3-7 cycloalkyl, or (un)substituted aryl, heteroaryl or aryl-C1-6-alkyl; R3 = H, C1-6 alkyl; X = O, S, or bond; n = 2 or 3; m = 1 or 2]. The compds. are useful for treating anxiety, depression, sleep disorders, and schizophrenia, by virtue of being 5-HT7 receptor antagonists. Also disclosed are processes for prepn. of the compds., compns. contg. them, and their use in the treatment of CNS disorders. Over 100 examples are given. For instance, sulfonamidation of 2-[2-(1-piperidinyl)ethyl]piperidine with 1-naphthalenesulfonyl chloride in CH2Cl2 in the presence of Et3N gave 58% title compd. II. In a test for displacement of [3H]-5-carboxamidotryptamine from human 5-HT7 receptor clones in a cell culture, all prepd. compds. I showed activity at concns. of 10-5 to 10-11 M.

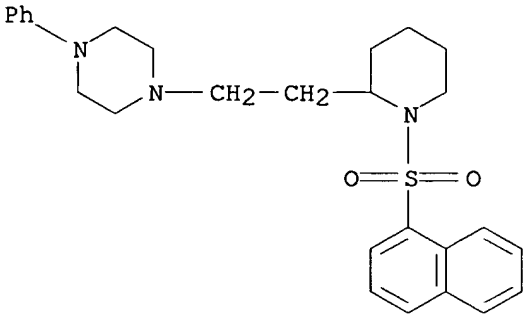
IT **201038-13-3P 201038-14-4P 201038-20-2P**
201038-25-7P 201038-40-6P 201038-52-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic sulfonamides as 5-HT7 receptor antagonists)

RN 201038-13-3 CAPLUS

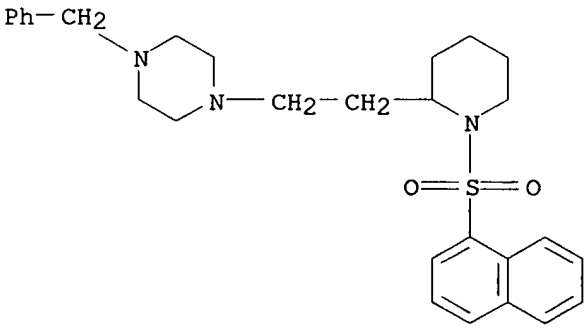
CN Piperidine, 1-(1-naphthalenylsulfonyl)-2-[2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



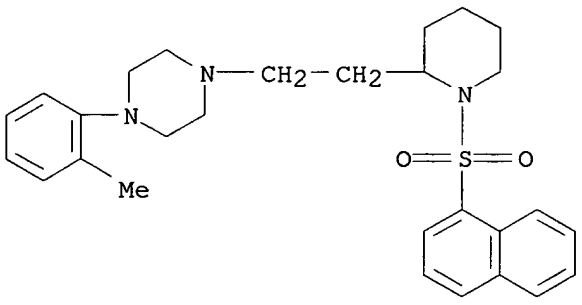
RN 201038-14-4 CAPLUS
CN Piperidine, 1-(1-naphthalenylsulfonyl)-2-[2-(4-phenyl-1-piperazinyl)ethyl]- (9CI) (CA INDEX NAME)



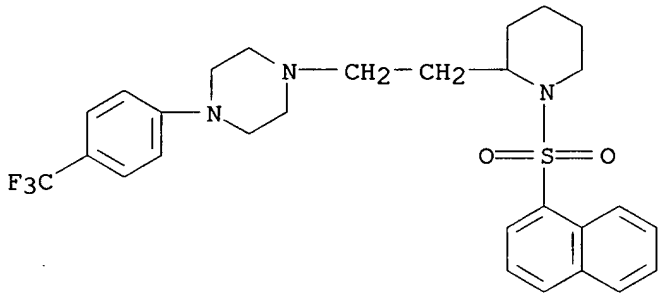
RN 201038-20-2 CAPLUS
CN Piperidine, 1-(1-naphthalenylsulfonyl)-2-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



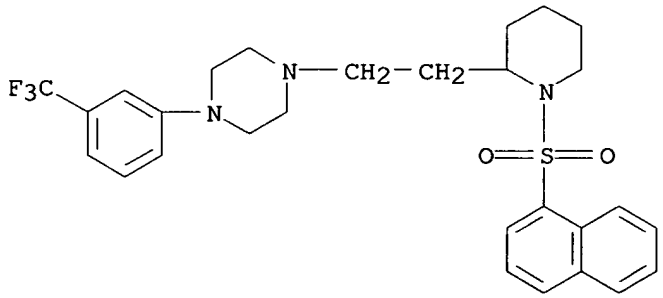
RN 201038-25-7 CAPLUS
CN Piperidine, 2-[2-[4-(2-methylphenyl)-1-piperazinyl]ethyl]-1-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 201038-40-6 CAPLUS
CN Piperidine, 1-(1-naphthalenylsulfonyl)-2-[2-[4-[4-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 201038-52-0 CAPLUS
CN Piperidine, 1-(1-naphthalenylsulfonyl)-2-[2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

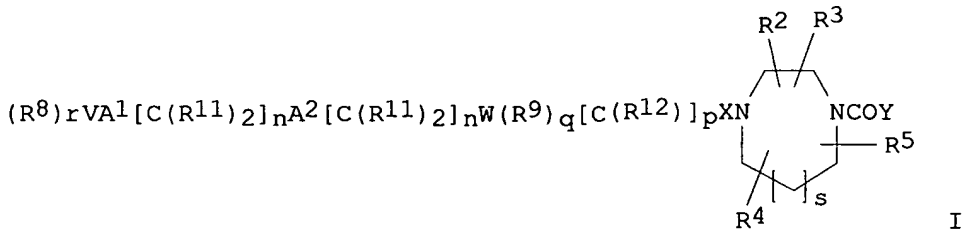


L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1996:724170 CAPLUS
DN 126:8133
TI Preparation of piperazine and homopiperazine inhibitors of farnesyl-protein transferase.
IN Anthony, Neville J.; Ciccarone, Terrence M.; Gomez, Robert P.; Hutchinson, John H.; Williams, Theresa M.; Dinsmore, Christopher J.; Stokker, Gerald E.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 293 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9630343	A1	19961003	WO 1996-US4019	19960325
	W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, US, US, UZ, VN, AM, AZ, BY, KG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5856326	A	19990105	US 1996-600728	19960301
	AU 9653223	A1	19961016	AU 1996-53223	19960325
	AU 710672	B2	19990923		
	EP 820445	A1	19980128	EP 1996-909851	19960325
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
	BR 9607953	A	19980714	BR 1996-7953	19960325
	JP 10511098	T2	19981027	JP 1996-529559	19960325
	JP 3043815	B2	20000522		
	ZA 9602433	A	19961002	ZA 1996-2433	19960327
	NO 9704457	A	19971128	NO 1997-4457	19970926
PRAI	US 1995-412829	A1	19950329		
	US 1995-470690	A1	19950606		
	US 1996-600728	A1	19960301		
	WO 1996-US4019	W	19960325		

OS MARPAT 126:8133
GI



I

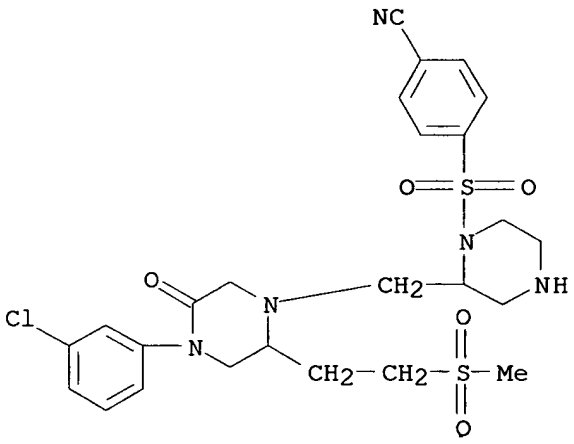
AB Title compds. [I; R11, R12 = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, N3, cyano, NO2, (substituted) OH, amino, aminocarbonyl, alkyl, etc.; R2, R3 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, aminocarbonyl, CO2H, etc.; geminal R2R3 = (heteroatom-interrupted) (CH2)u; u = 4, 5; R4, R5 = H, Me; R8 = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, cyano, NO2, N3, CHO, amino, (substituted) alkyl; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, cyano, NO2, N3, (substituted) amino, alkyl, etc.; A1, A2 = bond, CH:CH, C.tplbond.C, CO, O, S, SO, SO2, (substituted) aminocarbonyl, aminosulfonyl, etc.; V = H, heterocyclyl, aryl, (heteroatom-interrupted) alkyl, alkenyl; W = heterocyclyl; X = CH2, CO, S, SO, SO2; Y = (substituted) aryl, heterocyclyl; r = 0-5; r = 0 when V = H; n, p = 0-4; q

= 1, 2; s = 0, 1], were prepd. as inhibitors of farnesyl-protein transferase and the farnesylation of the oncogene protein Ras (no data). Thus, 3(S)-butyl-1-(1-naphthoyl)piperazine (prepn. given) in CH2Cl2 contg. HOAc and Et3N was treated with Na (AcO)3BH and mol. sieves; 2,3-bis(tert-butoxycarbonylamino)propanal (prepn. given) in CH2Cl2 was added and the mixt. was stirred overnight to give 1-[(2,3-bis-tert-butoxycarbonylamino)prop-1-yl]-2(S)-butyl-4-(1-naphthoyl)piperazine. This was treated with CF3CO2H in CH2Cl2 to give 1-(2,3-diaminoprop-1-yl)-2(S)-butyl-4-(1-naphthoyl)piperazine.

IT **183502-01-4P 183502-95-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazine and homopiperazine inhibitors of farnesyl-protein transferase)

RN 183502-01-4 CAPLUS

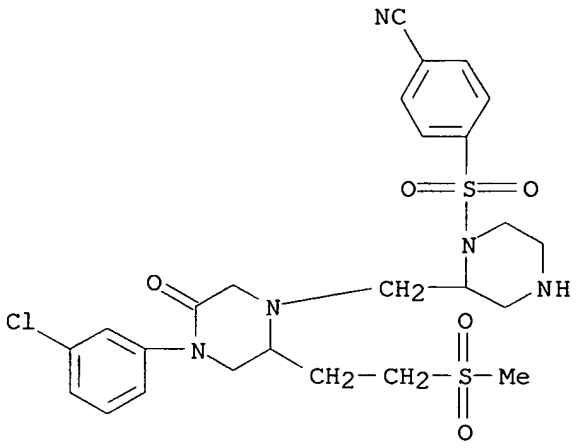
CN Piperazine, 2-[[4-(3-chlorophenyl)-2-[2-(methylsulfonyl)ethyl]-5-oxo-1-piperazinyl]methyl]-1-[(4-cyanophenyl)sulfonyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 183502-95-6 CAPLUS

CN Piperazine, 2-[[4-(3-chlorophenyl)-2-[2-(methylsulfonyl)ethyl]-5-oxo-1-piperazinyl]methyl]-1-[(4-cyanophenyl)sulfonyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1994:605386 CAPLUS
DN 121:205386
TI Preparation of quinoxalines as neuroprotectants for cerebral ischemia
IN Fujiwara, Shigeki; Takai, Haruki; Ikeda, Junichi; Kubo, Kazuhiro
PA Kyowa Hakko Kogyo Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 05331151	A2	19931214	JP 1992-136752	19920528
PRAI JP 1992-136752		19920528		

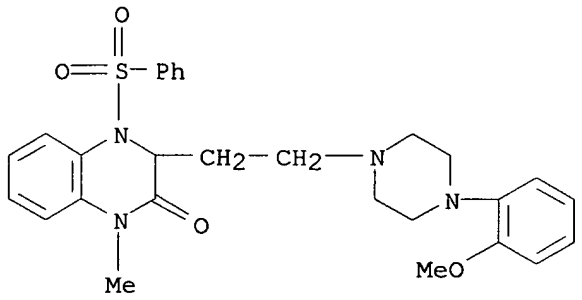
OS MARPAT 121:205386
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

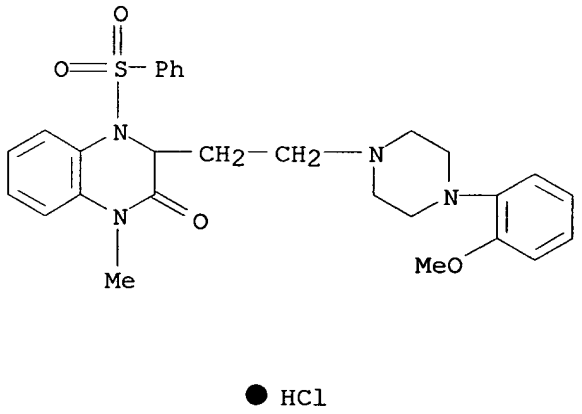
AB Quinoxaline derivs., e. g. I [A = (substituted) aryl, aralkyl, etc.; R3 = H, (substituted) alkyl, aralkyl; n = 1 - 5; DE = N:C, or R4NC; R4 = H, (substituted) arylsulfonyl, etc.], are prepd. A mixt. of quinoxaline II and 1-(2-methoxyphenyl)piperazine in THF contg. tetra-Bu ammonium bromide and Et3N was refluxed for 40 h to give quinoxalines III and IV (R = H). IV (R = Me) showed min. ED of 3 mg/kg against brain ischemia in mice.

IT **157861-51-3P 157861-52-4P 157861-53-5P**
157861-54-6P 157861-55-7P 157861-56-8P
157861-57-9P 157861-58-0P 157861-59-1P
157861-60-4P 157861-61-5P 157861-62-6P
157861-63-7P 157861-64-8P 157861-65-9P
157861-66-0P 157861-67-1P 157861-68-2P
157861-69-3P 157861-70-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as neuroprotective agent)
RN 157861-51-3 CAPLUS

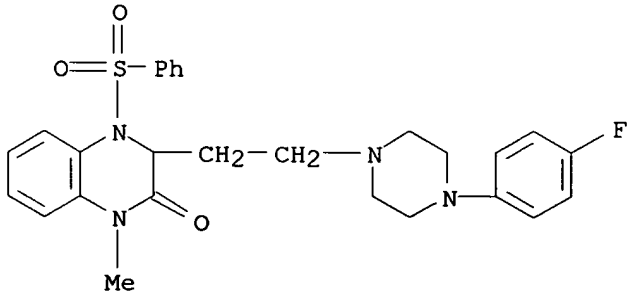
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-1-methyl-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 157861-52-4 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-1-methyl-4-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

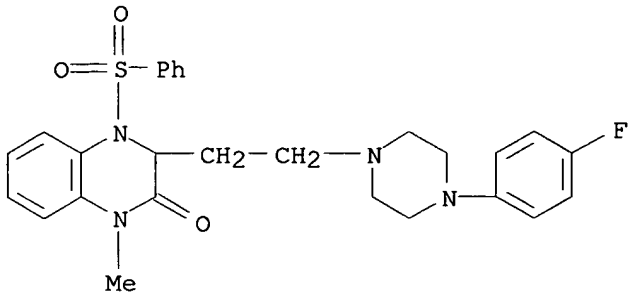


RN 157861-53-5 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-methyl-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



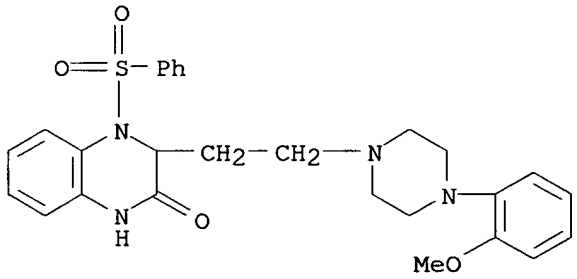
RN 157861-54-6 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-

dihydro-1-methyl-4-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

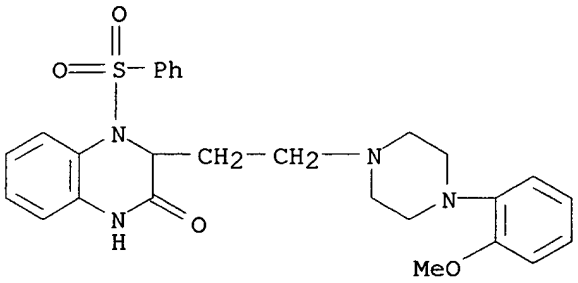


● HCl

RN 157861-55-7 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

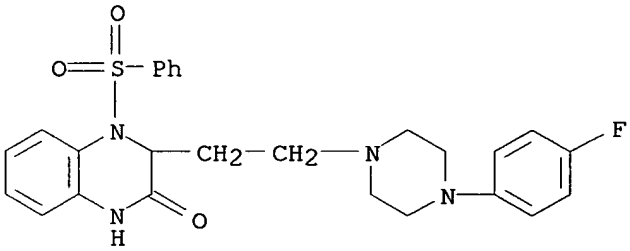


RN 157861-56-8 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4-(phenylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)



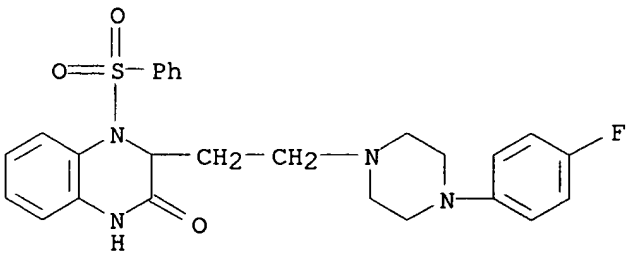
●2 HCl

RN 157861-57-9 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-4-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

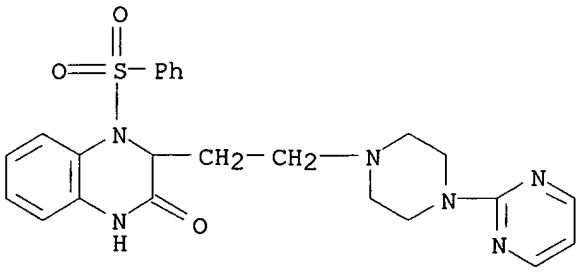


● HCl

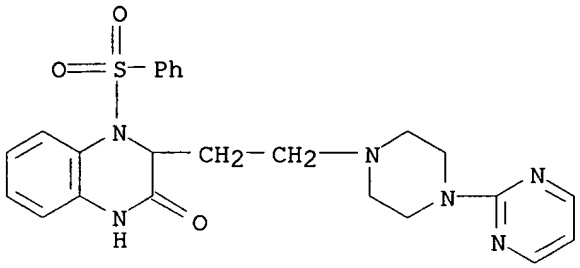
RN 157861-58-0 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 157861-59-1 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-4-(phenylsulfonyl)-3-[2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]- (9CI) (CA INDEX NAME)

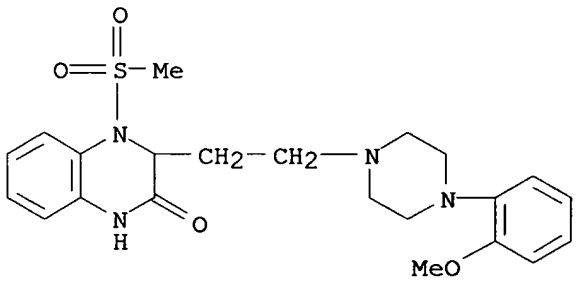


RN 157861-60-4 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-4-(phenylsulfonyl)-3-[2-[4-(2-pyrimidinyl)-1-piperazinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

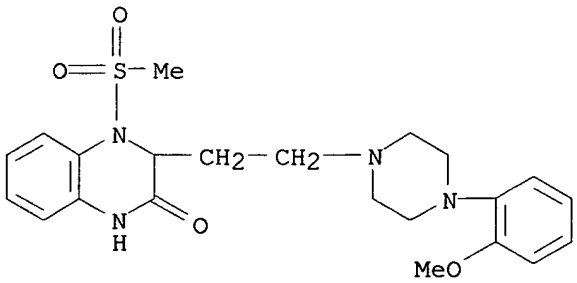


●2 HCl

RN 157861-61-5 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

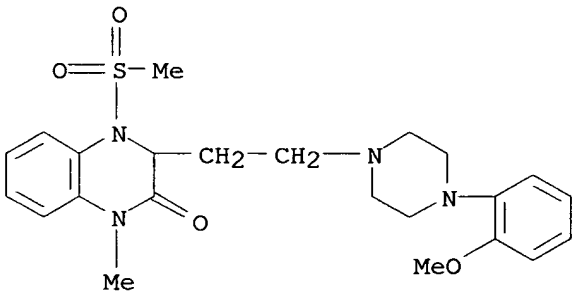


RN 157861-62-6 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-4-(methylsulfonyl)-, dihydrochloride (9CI) (CA INDEX NAME)

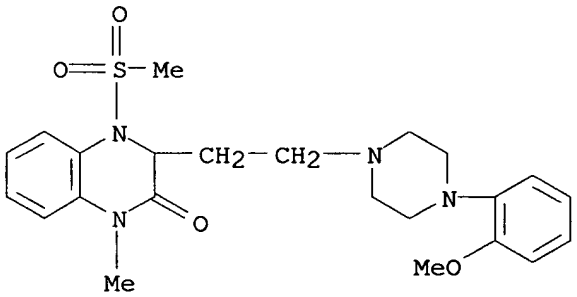


●2 HCl

RN 157861-63-7 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-1-methyl-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



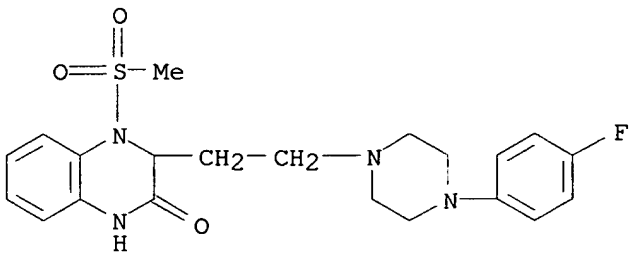
RN 157861-64-8 CAPLUS
CN 2(1H)-Quinoxalinone, 3,4-dihydro-3-[2-[4-(2-methoxyphenyl)-1-piperazinyl]ethyl]-1-methyl-4-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



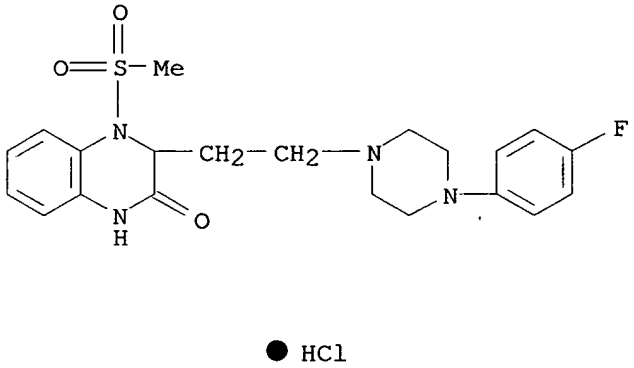
● HCl

RN 157861-65-9 CAPLUS

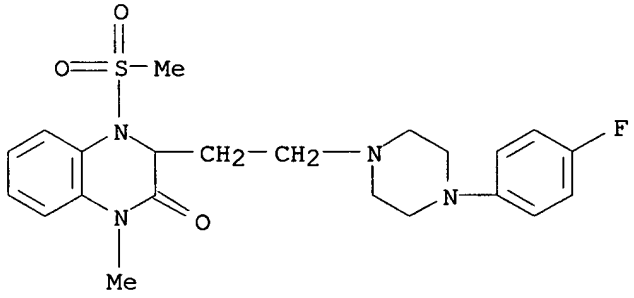
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



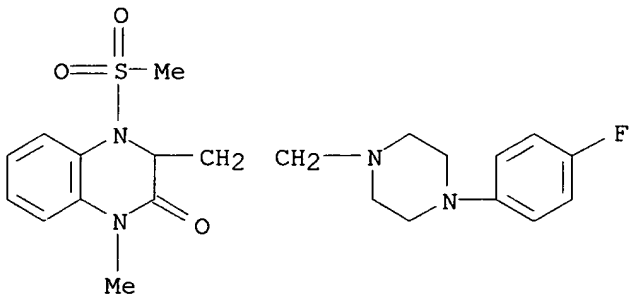
RN 157861-66-0 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-4-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 157861-67-1 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-methyl-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

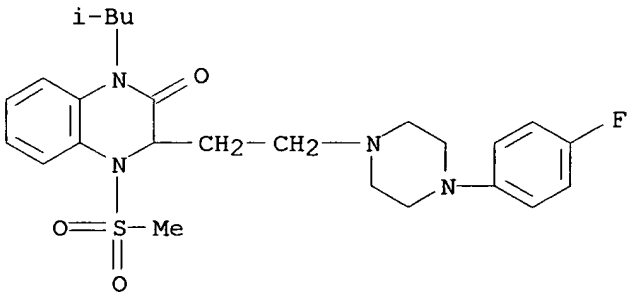


RN 157861-68-2 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-methyl-4-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

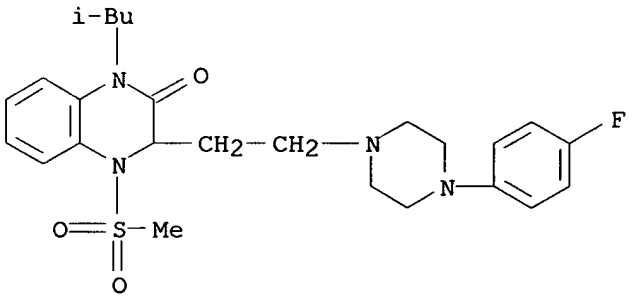


● HCl

RN 157861-69-3 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-(2-methylpropyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)



RN 157861-70-6 CAPLUS
CN 2(1H)-Quinoxalinone, 3-[2-[4-(4-fluorophenyl)-1-piperazinyl]ethyl]-3,4-dihydro-1-(2-methylpropyl)-4-(methylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

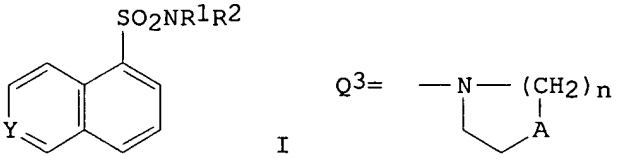
L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1992:20955 CAPLUS
DN 116:20955
TI Preparation of isoquinoline-5-sulfonamides and analogs as blood vessel relaxants
IN Hidaka, Hiroyoshi; Ishikawa, Tomohiko; Hagiwara, Masatoshi; Inoue, Tsutomu; Naitoh, Kenji; Sakuma, Osamu; Yuasa, Masayuki; Morita, Tadashi; Toshioka, Tadashi; et al.
PA Tobishi Pharmaceutical Co., Ltd., Japan
SO Ger. Offen., 86 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3942114	A1	19900628	DE 1989-3942114	19891220
	DE 3942114	C2	19970904		
	CA 2005741	AA	19900626	CA 1989-2005741	19891215
	CA 2005741	C	19980602		
	JP 02256666	A2	19901017	JP 1989-325959	19891218
	JP 2886225	B2	19990426		
	SE 8904261	A	19900627	SE 1989-4261	19891219
	SE 503081	C2	19960318		
	US 5081246	A	19920114	US 1989-453623	19891220
	DE 3943678	C2	19991125	DE 1989-3943678	19891220
	GB 2228933	A1	19900912	GB 1989-28895	19891221
	GB 2228933	B2	19930331		
	CH 680441	A	19920831	CH 1989-4647	19891221
	DK 8906662	A	19900627	DK 1989-6662	19891222
	DK 175678	B1	20050117		
	FR 2640973	A1	19900629	FR 1989-17091	19891222
	FR 2640973	B1	19920327		
	NL 8903143	A	19900716	NL 1989-3143	19891222
	NL 193726	B	20000403		
	NL 193726	C	20000804		
	ES 2029759	A6	19920901	ES 1989-4335	19891222
	AT 8902935	A	19940215	AT 1989-2935	19891222
	CN 1044098	A	19900725	CN 1989-109843	19891226
	CN 1025618	B	19940810		
	JP 03007262	A2	19910114	JP 1990-11719	19900123
	JP 3048590	B2	20000605		
	JP 03047170	A2	19910228	JP 1990-52686	19900306
	JP 3078295	B2	20000821		
	US 5216150	A	19930601	US 1991-758808	19910912
	GB 2248235	A1	19920401	GB 1991-22595	19911024
	GB 2248235	B2	19930331		
	US 5245034	A	19930914	US 1992-856178	19920323
	CN 1074214	A	19930714	CN 1992-115101	19921230
	CN 1028638	B	19950531		
	NL 9900004	A	19990901	NL 1999-4	19990517
	NL 194549	B	20020301		
	NL 194549	C	20020702		
PRAI	JP 1988-325910	A	19881226		
	JP 1989-76419	A	19890330		
	JP 1989-87868	A	19890410		
	DE 1989-3942114	A3	19891220		
	US 1989-453623	A3	19891220		

	GB 1989-28895	A3	19891221
	NL 1989-3143	A3	19891222
	CN 1989-109843	A	19891226
	US 1991-758808	A3	19910912

OS MARPAT 116:20955

GI

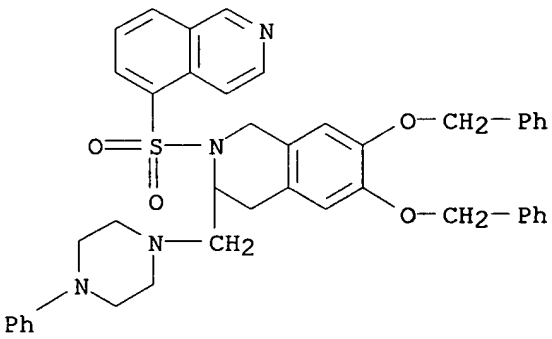


AB The title compds. [I; R1 = H, CHO, (halophenyl)propargyl, (un)substituted alkyl, aralkyl, Ph; R2 = WNR3CHR4XmQ1, CH(CR12R13R)CH2Q2, W = alkylene, (un)substituted phenylenediyl, or a combination of these; R3 = R1; R1R3 = alkylene; R4 = H, alkyl; X = CH:CH, C.tplbond.C; Q1, Q2 = (un)substituted Ph, naphthyl, heterocyclyl; R12, R13 = H; R12R13 = O; R = Q3; A = CO, (un)substituted CH2, NH, etc.; R1R3 = alkylene; Y = N, CH, CMe; m, n = 1-3] were prepd. Thus, I (R1 = H, Y = N) (II; R2 = CH2CH2NH2) was stirred 1 h with 4-ClC6H4CH:CHCHO in MeOH after which NaBH4 was added and stirring continued 30 min to give II (R2 = CH2CH2NR5CH2CH:CHC6H4Cl-4) (III; R5 = H) which was methylated to give III (R5 = Me). The latter had EC50 of 0.19 .mu.M for relaxation of rabbit aorta strips in vitro.

IT **130962-32-2P 130962-33-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as blood vessel relaxant)

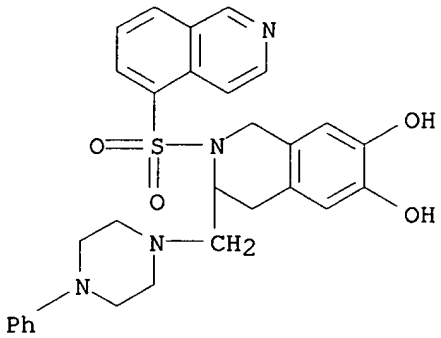
RN 130962-32-2 CAPLUS

CN Isoquinoline, 1,2,3,4-tetrahydro-2-(5-isoquinolinylsulfonyl)-6,7-bis(phenylmethoxy)-3-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 130962-33-3 CAPLUS

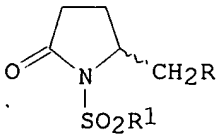
CN 6,7-Isoquinolinediol, 1,2,3,4-tetrahydro-2-(5-isoquinolinylsulfonyl)-3-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



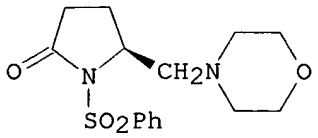
L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1991:164001 CAPLUS
DN 114:164001
TI Preparation of pyrrolidone derivatives for the treatment of hypoxia
IN Regnier, Gilbert; Dhainaut, Alain; Lepagnol, Jean
PA ADIR et Cie., Fr.
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 401093	A1	19901205	EP 1990-401387	19900523
	EP 401093	B1	19950301		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2647785	A1	19901207	FR 1989-7153	19890531
	FR 2647785	B1	19910906		
	CA 2017044	AA	19901130	CA 1990-2017044	19900517
	US 5066651	A	19911119	US 1990-525137	19900517
	ZA 9003944	A	19910327	ZA 1990-3944	19900522
	ES 2071793	T3	19950701	ES 1990-401387	19900523
	AU 9056087	A1	19901206	AU 1990-56087	19900529
	AU 617945	B2	19911205		
	JP 03020259	A2	19910129	JP 1990-141224	19900530
	JP 06088972	B4	19941109		
PRAI	FR 1989-7153	A	19890531		

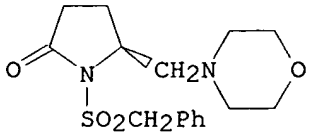
OS CASREACT 114:164001; MARPAT 114:164001
GI



I



II



III

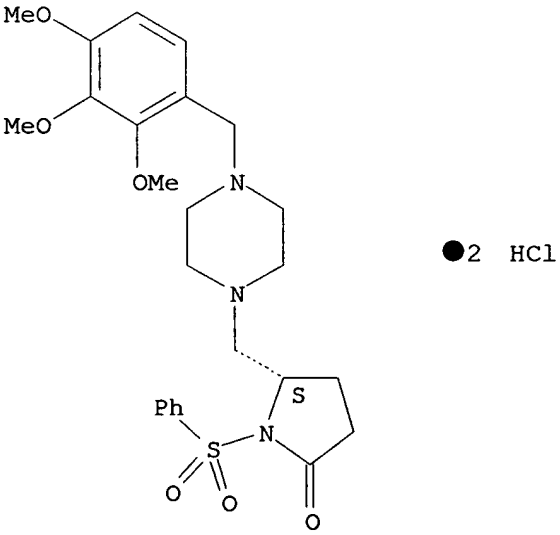
AB The title compds. I [R = OR'', SR'', etc.; R'' = H, COR''', alkyl, etc.; R''' = alkyl, NR1R2; R1, R2 = alkyl; or NR1R2 = heterocyclyl; R' = (substituted) alkyl, (substituted) aryl] were prepd. Treatment of (S)-5-morpholinomethyl-2-pyrrolidone (prepn. given) with BuLi, followed by reaction with PhSO2Cl, gave pyrrolidone II. In mice subjected to cerebral hypoxia, pyrrolidone III at 100 mg/kg increased the survival time by 14.5%, vs. 3.3% for the known drug pramiracetam.

IT **133223-10-6P 133223-11-7P 133223-12-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of hypoxia)

RN 133223-10-6 CAPLUS

CN 2-Pyrrolidinone, 1-(phenylsulfonyl)-5-[[4-[(2,3,4-trimethoxyphenyl)methyl]-1-piperazinyl]methyl]-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

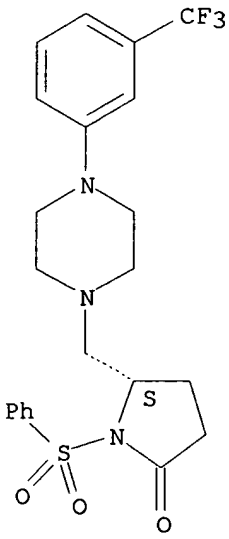
Absolute stereochemistry.



RN 133223-11-7 CAPLUS

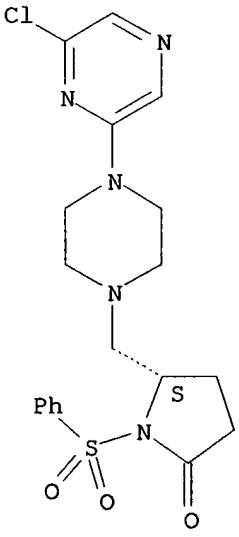
CN 2-Pyrrolidinone, 1-(phenylsulfonyl)-5-[[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 133223-12-8 CAPLUS
CN 2-Pyrrolidinone, 5-[[4-(6-chloropyrazinyl)-1-piperazinyl]methyl]-1-(phenylsulfonyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> file caold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	46.45	217.70

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.75	-6.75

FILE 'CAOLD' ENTERED AT 18:26:16 ON 01 FEB 2006

FILE COVERS 1907-1966
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